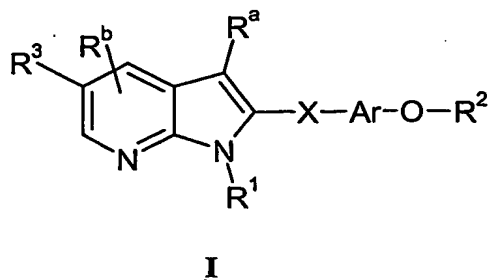


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What is claimed is:

1. A compound of formula I or a pharmaceutically acceptable salt thereof:



5 wherein

$R^1$  is a  $C_{1-12}$  group;

$X$  is a  $C_{1-10}$  divalent group that separates groups connected thereto by one or two saturated carbons;

$Ar$  is  $C_{4-12}$  divalent aromatic group;

10  $R^2$  is optionally substituted  $C_{1-6}$ hydrocarbaryl, optionally substituted  $C_{6-10}$ aryl, or optionally substituted  $C_{3-6}$ heteroaryl;

$R^3$  is a  $C_{1-12}$  group, wherein the atom of  $R^3$  that is directly connected to the six-membered ring of formula I is a nitrogen, or an unsaturated carbon, wherein the unsaturated carbon is connected to an oxygen through a double bond; and

15  $R^a$  and  $R^b$  are  $-R$ ,  $-\text{NO}_2$ ,  $-\text{OR}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{F}$ ,  $-\text{CF}_3$ ,  $-\text{C}(=\text{O})\text{R}$ ,  $-\text{C}(=\text{O})\text{OH}$ ,  $-\text{NH}_2$ ,  $-\text{SH}$ ,  $-\text{NHR}$ ,  $-\text{NR}_2$ ,  $-\text{SR}$ ,  $-\text{SO}_3\text{H}$ ,  $-\text{SO}_2\text{R}$ ,  $-\text{S}(=\text{O})\text{R}$ ,  $-\text{CN}$ ,  $-\text{OH}$ ,  $-\text{C}(=\text{O})\text{OR}$ , or  $-\text{NRC}(=\text{O})\text{R}$ , wherein  $R$  is independently  $-\text{H}$  or  $C_{1-6}$  hydrocarbaryl.

2. A compound as claimed in claim 1, wherein

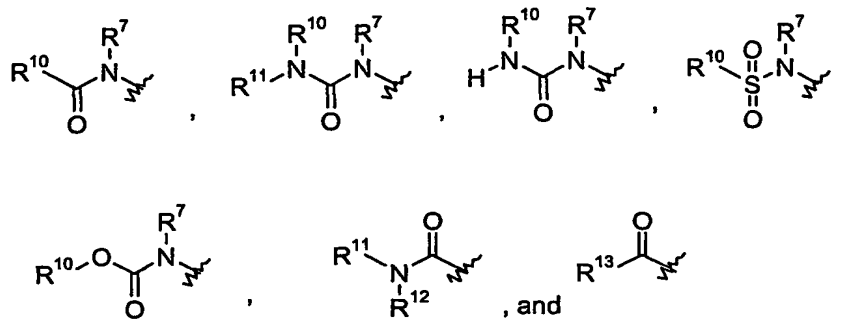
20  $R^1$  is optionally substituted  $C_{1-10}$  hydrocarbaryl; optionally substituted  $C_{1-10}$ acyl; optionally substituted  $C_{4-8}$ heteroaryl- $\text{C}(=\text{O})-$ ;  $\text{R}^4\text{R}^5\text{N}-\text{C}_{1-6}\text{alkyl}$ ;  $\text{R}^4\text{R}^5\text{NC}(=\text{O})-\text{C}_{1-6}\text{alkyl}$ ;  $\text{R}^4\text{O}-\text{C}_{1-6}\text{alkyl}$ ;  $\text{R}^4\text{OC}(=\text{O})-\text{C}_{1-6}\text{alkyl}$ ;  $\text{R}^4\text{C}(=\text{O})-\text{C}_{1-6}\text{alkyl}$ ;  $\text{R}^4\text{C}(=\text{O})\text{NR}^4-\text{C}_{1-6}\text{alkyl}$ ;  $\text{R}^4\text{R}^5\text{NSO}_2-\text{C}_{1-6}\text{alkyl}$ ;  $\text{R}^4\text{CSO}_2\text{N}(\text{R}^5)-\text{C}_{1-6}\text{alkyl}$ ;  $\text{R}^4\text{R}^5\text{NC}(=\text{O})\text{N}(\text{R}^6)-\text{C}_{1-6}\text{alkyl}$ ;  $\text{R}^4\text{R}^5\text{NSO}_2\text{N}(\text{R}^6)-\text{C}_{1-6}\text{alkyl}$ ; optionally substituted aryl- $\text{C}_{1-6}\text{alkyl}$ ; optionally substituted aryl- $\text{C}(=\text{O})-\text{C}_{1-6}\text{alkyl}$ ; optionally substituted heterocyclyl- $\text{C}_{1-6}\text{alkyl}$ ; optionally substituted heterocyclyl- $\text{C}(=\text{O})-\text{C}_{1-6}\text{alkyl}$ ; and  $C_{1-10}$ hydrocarbaryl-amino;

25

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wherein  $R^4$ ,  $R^5$  and  $R^6$  are independently selected from -H,  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{2-6}$ alkynyl, or a divalent  $C_{1-6}$ group that together with another divalent  $C_{1-6}$ group forms a portion of a ring;

$R^3$  is selected from:



wherein

$R^7$  is selected from -H, optionally substituted  $C_{1-6}$ alkyl, optionally substituted  $C_{2-6}$ alkenyl, optionally substituted  $C_{2-6}$ alkynyl, optionally substituted  $C_{3-6}$ cycloalkyl, optionally substituted  $C_{6-10}$  aryl, or optionally substituted  $C_{3-6}$ heteroaryl;

$R^{10}$ ,  $R^{11}$ ,  $R^{12}$  and  $R^{13}$  are independently selected from optionally substituted  $C_{1-6}$ alkyl, optionally substituted  $C_{2-6}$ alkenyl, optionally substituted  $C_{2-6}$ alkynyl, optionally substituted  $C_{3-6}$ cycloalkyl, optionally substituted  $C_{6-10}$  aryl, or optionally substituted  $C_{3-6}$ heteroaryl; and  $R^a$  and  $R^b$  are hydrogen.

3. A compound as claimed claim 1,

wherein  $R^1$  is selected from  $C_{1-8}$ alkyl;  $C_{2-8}$ alkenyl;  $C_{2-8}$ alkynyl; optionally substituted aryl- $C_{1-6}$ alkyl;  $R^4R^5NC_{1-6}$ alkyl;  $R^4OC_{1-6}$ alkyl;  $C_{3-6}$ cycloalkyl- $C_{1-6}$ alkyl; optionally substituted  $C_{3-6}$ heterocycloalkyl- $C_{1-6}$ alkyl;  $C_{1-6}$ alkyl- $C_{6-8}$ aryl;  $C_{1-6}$ alkyl- $C(=O)-$ ;  $C_{6-8}$ aryl- $C(=O)-$ ;  $C_{3-8}$ heteroaryl- $C(=O)-$ ; or optionally substituted  $C_{3-6}$ heteroaryl- $C_{1-6}$ alkyl;

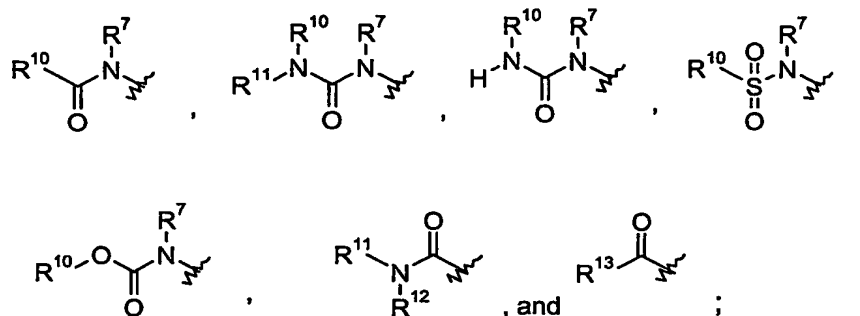
wherein  $R^2$  is selected from  $C_{1-6}$ alkyl,  $C_{1-6}$ alkyl substituted by at least one fluorine,  $C_{2-6}$ alkenyl,  $C_{2-6}$ alkenyl substituted by at least one fluorine,  $C_{2-6}$ alkynyl,  $C_{2-6}$ alkynyl substituted by at least one fluorine, optionally substituted  $C_{3-6}$ cycloalkyl, optionally substituted  $C_{6-10}$ aryl, and optionally substituted  $C_{3-6}$ heteroaryl;

$R^4$ ,  $R^5$  and  $R^6$  are independently selected from the group consisting of -H,  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{2-6}$ alkynyl, and a divalent  $C_{1-6}$ group that together with another divalent  $C_{1-6}$ group forms a portion of a ring;

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X is selected from the group consisting of  $-\text{NR}^6-$ ,  $-\text{CH}_2\text{CH}_2-$ ,  $-\text{CH}=\text{CH}-$ ,  $-\text{O}-$ ,  $-\text{C}(\text{R}^8)(\text{R}^9)-$ , and  $-\text{S}(\text{O})_q-$ , wherein q is 0, 1 or 2, wherein  $\text{R}^8$  and  $\text{R}^9$  are independently  $\text{C}_{1-6}$ alkyl,  $\text{C}_{2-6}$ alkenyl,  $\text{C}_{2-6}$ alkynyl,  $\text{C}_{1-6}$ alkoxy,  $-\text{OH}$ , or  $-\text{H}$ ; at most one of  $\text{R}_8$  and  $\text{R}_9$  is  $-\text{OH}$ ;

$\text{R}^3$  is selected from:



5

wherein

$\text{R}^7$  is selected from  $-\text{H}$ , optionally substituted  $\text{C}_{1-6}$ alkyl, optionally substituted  $\text{C}_{2-6}$ alkenyl, optionally substituted  $\text{C}_{2-6}$ alkynyl, optionally substituted  $\text{C}_{3-6}$ cycloalkyl, optionally substituted  $\text{C}_{6-10}$  aryl, or optionally substituted  $\text{C}_{3-6}$ heteroaryl;

10  $\text{R}^{10}$ ,  $\text{R}^{11}$ ,  $\text{R}^{12}$  and  $\text{R}^{13}$  are independently selected from optionally substituted  $\text{C}_{1-6}$ alkyl, optionally substituted  $\text{C}_{2-6}$ alkenyl, optionally substituted  $\text{C}_{2-6}$ alkynyl, optionally substituted  $\text{C}_{3-6}$ cycloalkyl, optionally substituted  $\text{C}_{6-10}$  aryl, or optionally substituted  $\text{C}_{3-6}$ heteroaryl; and  $\text{R}^a$  and  $\text{R}^b$  are hydrogen.

15 4. A compound as claimed in claim 3, wherein

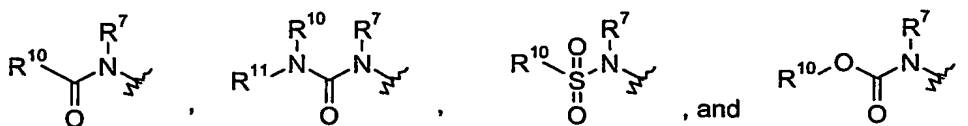
$\text{R}^1$  is selected from  $\text{C}_{1-6}$ alkyl;  $\text{C}_{2-6}$ alkenyl;  $\text{C}_{2-6}$ alkynyl; optionally substituted  $\text{C}_{3-6}$ cycloalkylmethyl; optionally substituted  $\text{C}_{3-6}$ heterocycloalkylmethyl;

X is  $-\text{CH}_2-$ ;

Ar is phenylene or pyridylene;

20  $\text{R}^2$  is selected from  $-\text{CH}_3$ ,  $-\text{CH}_2\text{CH}_3$ ,  $-\text{CH}(\text{CH}_3)_2$ ,  $-\text{CH}_2\text{CF}_3$ ,  $\text{CF}_3$ , cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyridyl and phenyl; and

$\text{R}^3$  is selected from



25 wherein,  $\text{R}^7$  is selected from  $-\text{H}$  and methyl;  $\text{R}^{10}$  and  $\text{R}^{11}$  are independently selected from optionally substituted  $\text{C}_{1-6}$ alkyl, optionally substituted  $\text{C}_{2-6}$ alkenyl, optionally substituted

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C<sub>2-6</sub>alkynyl, optionally substituted C<sub>3-6</sub>cycloalkyl, optionally substituted C<sub>6-10</sub> aryl, or optionally substituted C<sub>3-6</sub>heteroaryl.

5. A compound as claimed in claim 3, wherein

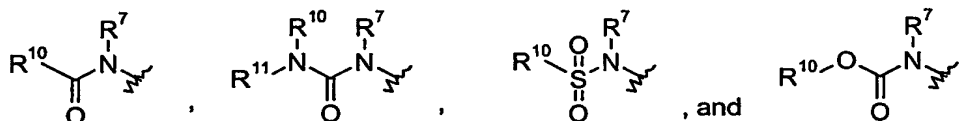
5 R<sup>1</sup> is selected from C<sub>1-6</sub>alkyl; C<sub>2-6</sub>alkenyl; C<sub>2-6</sub>alkynyl; optionally substituted C<sub>3-6</sub>cycloalkylmethyl; optionally substituted C<sub>3-6</sub>heterocycloalkylmethyl;

X is -CH<sub>2</sub>-;

Ar is selected from the group consisting of an optionally substituted *para*-arylene; an optionally substituted a six-membered *para*-heteroarylene;

10 R<sup>2</sup> is selected from -CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>3</sub>, -CH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>CF<sub>3</sub>, CF<sub>3</sub>, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyridyl and phenyl; and

R<sup>3</sup> is selected from:



15 wherein, R<sup>7</sup> is selected from -H and methyl; R<sup>10</sup> and R<sup>11</sup> are selected from optionally substituted C<sub>1-6</sub>alkyl, optionally substituted C<sub>2-6</sub>alkenyl, optionally substituted C<sub>2-6</sub>alkynyl, optionally substituted C<sub>3-6</sub>cycloalkyl, optionally substituted C<sub>6-10</sub> aryl, or optionally substituted C<sub>3-6</sub>heteroaryl.

6. A compound as claimed in claim 3, wherein

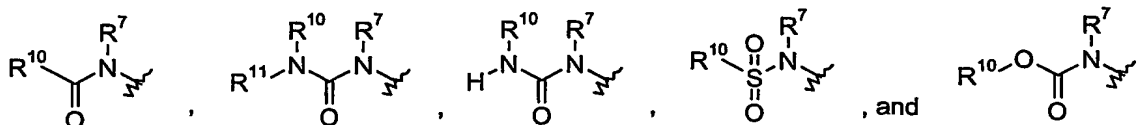
20 R<sup>1</sup> is selected from optionally substituted C<sub>3-6</sub>cycloalkylmethyl; and optionally substituted C<sub>3-6</sub>heterocycloalkylmethyl;

X is -CH<sub>2</sub>-;

Ar is *para*-phenylene or *para*-pyridylene;

R<sup>2</sup> is methyl, or ethyl; and

25 R<sup>3</sup> is selected from



wherein, R<sup>7</sup> is selected from -H and methyl; R<sup>10</sup> and R<sup>11</sup> are selected from C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl, phenyl optionally substituted with halogen, nitro, C<sub>1-3</sub>alkyl, -COOR<sup>14</sup>, -OH,

cyano, trifluormethyl, C<sub>1-3</sub>alkyloxy; C<sub>3-6</sub>heteroaryl optionally substituted with halogen, nitro, C<sub>1-3</sub>alkyl, -COOR<sup>14</sup>, -OH, cyano, trifluormethyl, C<sub>1-3</sub>alkyloxy, wherein R<sup>14</sup> is a C<sub>1-3</sub>alkyl.

7. A compound selected from:

- 5 1) *N*-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-2,2-dimethyl-propanamide;
- 2) *N*-[1-(cyclohexylmethyl)-2-[(3-methoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-2,2-dimethyl-propanamide;
- 10 3) *N*-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*-methyl-*N'*-(1-methylethyl)-urea;
- 4) *N*-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*,3-dimethyl-butanamide;
- 5) *N*-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*,2-dimethyl-propanamide;
- 15 6) *N*-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*-methyl-cyclopropanecarboxamide;
- 7) *N*-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*,2,2-trimethyl-propanamide;
- 8) *N*-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N'*,*N'*-diethyl-*N*-methyl-urea;
- 20 9) *N*-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*,5-dimethyl-3-isoxazolecarboxamide;
- 10) *N*-[1-(cyclohexylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-2-fluoro-*N*-methyl-benzamide;
- 25 11) *N*-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-2,2-dimethyl-propanamide;
- 12) [1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-, 1-methylethyl ester carbamic acid;
- 13) *N*-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*,2,2-trimethyl-propanamide;
- 30 14) *N*-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*,3-dimethyl-butanamide;

- 15) *N*-[1-(cyclohexylmethyl)-2-[(5-ethoxy-2-pyridinyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*-methyl-*N'*-(1-methylethyl)-urea;
- 16) *N*-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2*H*-pyran-4-yl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-2,2-dimethyl-propanamide;
- 5 17) *N*-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2*H*-pyran-4-yl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-2,6-difluoro-*N*-methyl-benzenesulfonamide;
- 18) *N*-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2*H*-pyran-4-yl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*-methyl-cyclobutanecarboxamide;
- 19) *N*-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2*H*-pyran-4-yl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-2,5-difluoro-*N*-methyl-benzamide;
- 10 20) *N*-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2*H*-pyran-4-yl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*,2-dimethyl-propanamide;
- 21) *N*-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2*H*-pyran-4-yl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*,2,2-trimethyl-propanamide;
- 15 22) *N*-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2*H*-pyran-4-yl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*-methyl-*N'*-(1-methylethyl)-urea;
- 23) *N*-[2-[(4-ethoxyphenyl)methyl]-1-[(tetrahydro-2*H*-pyran-4-yl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*,3-dimethyl-butanamide;
- 24) *N*-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-2,2-dimethyl-propanamide;
- 20 25) [1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-, methyl ester carbamic acid;
- 26) *N*-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-2,6-difluoro-*N*-methyl-benzenesulfonamide;
- 25 27) *N*-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*-methyl-2-pyridinecarboxamide;
- 28) *N*-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*,3-dimethyl-butanamide;
- 29) *N*-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*-methyl-*N'*-(1-methylethyl)-urea;
- 30 30) *N*-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*,1-dimethyl-1*H*-imidazole-5-sulfonamide;

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31) *N*-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-4-(dimethylamino)-*N*-methyl- benzamide;

32) *N*-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*,5-dimethyl-3-isoxazolecarboxamide;

5 33) 4-[[[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]methylamino]sulfonyl]-benzoic acid;

34) *N*-[1-(cyclobutylmethyl)-2-[(4-ethoxyphenyl)methyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]-*N*-methyl-2-nitro-benzenesulfonamide; and pharmaceutically acceptable salts thereof.

10

8. A compound according to any one of claims 1-7 for use as a medicament.

9. The use of a compound according to any one of claims 1-7 in the manufacture of a medicament for the therapy of pain.

15

10. The use of a compound according to any one of claims 1-7 in the manufacture of a medicament for the treatment of immune cancer.

20

11. The use of a compound according to any one of claims 1-7 in the manufacture of a medicament for the treatment of multiple sclerosis, Parkinson's disease, Huntington's chorea, Alzheimer's disease, anxiety disorders, gastrointestinal disorders or cardiovascular disorders.

12. A pharmaceutical composition comprising a compound according to any one of claims 1-7 and a pharmaceutically acceptable carrier.

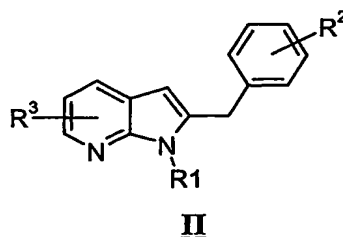
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13. A method for the therapy of pain in a warm-blooded animal, comprising the step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of claims 1-7.

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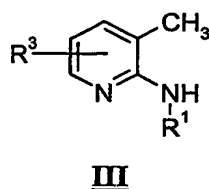
14. A method for preparing a compound of formula II,

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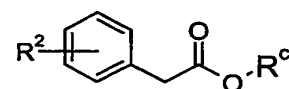
comprising the steps of

a) reacting a compound of formula III,



5

with a base having a pKa more than 20;



b) reacting a product formed in step a) with a compound of formula IV,

**IV**

to form the compound of formula II,

wherein

- 10  $R^1$  is optionally substituted  $C_{1-10}$  hydrocarbyl; optionally substituted  $C_{1-10}$ acyl;  
 optionally substituted  $C_{4-8}$ heteroaryl- $C(=O)-$ ;  $R^4R^5N-C_{1-6}$ alkyl;  $R^4R^5NC(=O)-C_{1-6}$ alkyl;  $R^4O-$   
 $C_{1-6}$ alkyl;  $R^4OC(=O)-C_{1-6}$ alkyl;  $R^4C(=O)-C_{1-6}$ alkyl;  $R^4C(=O)NR^4-C_{1-6}$ alkyl;  $R^4R^5NSO_2-C_{1-6}$   
 15  $alkyl$ ;  $R^4CSO_2N(R^5)-C_{1-6}$ alkyl;  $R^4R^5NC(=O)N(R^6)-C_{1-6}$ alkyl;  $R^4R^5NSO_2N(R^6)-C_{1-6}$ alkyl;  
 optionally substituted aryl- $C_{1-6}$ alkyl; optionally substituted aryl- $C(=O)-C_{1-6}$ alkyl; optionally  
 20 substituted heterocyclyl- $C_{1-6}$ alkyl; optionally substituted heterocyclyl- $C(=O)-C_{1-6}$ alkyl; and  
 $C_{1-10}$ hydrocarbylamino;

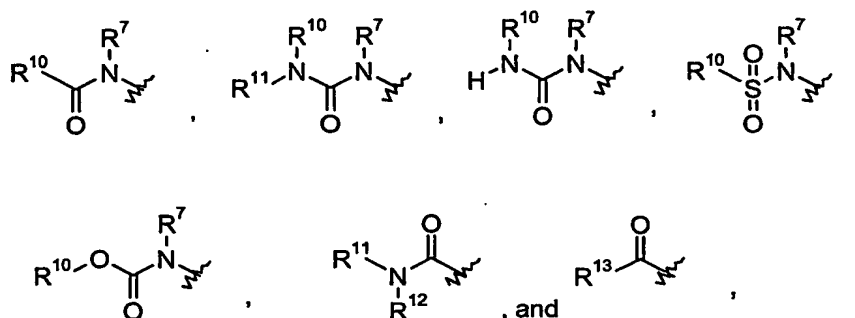
wherein  $R^4$ ,  $R^5$  and  $R^6$  are independently selected from -H,  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{2-6}$   
 20 alkynyl, or a divalent  $C_{1-6}$ group that together with another divalent  $C_{1-6}$ group forms a portion  
 of a ring;

$R^2$  is optionally substituted  $C_{1-6}$ hydrocarbyl, optionally substituted  $C_{6-10}$ aryl, or  
 optionally substituted  $C_{3-6}$ heteroaryl;

$R^3$  is selected from:



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wherein

$R^7$  is selected from -H, optionally substituted  $C_{1-6}$ alkyl, optionally substituted  $C_{2-6}$ alkenyl, optionally substituted  $C_{2-6}$ alkynyl, optionally substituted  $C_{3-6}$ cycloalkyl, optionally substituted  $C_{6-10}$  aryl, or optionally substituted  $C_{3-6}$ heteroaryl;

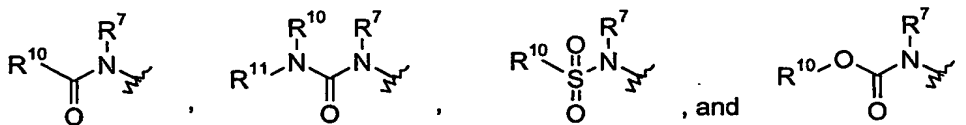
$R^{10}$ ,  $R^{11}$ ,  $R^{12}$  and  $R^{13}$  are independently selected from optionally substituted  $C_{1-6}$ alkyl, optionally substituted  $C_{2-6}$ alkenyl, optionally substituted  $C_{2-6}$ alkynyl, optionally substituted  $C_{3-6}$ cycloalkyl, optionally substituted  $C_{6-10}$  aryl, or optionally substituted  $C_{3-6}$ heteroaryl; and  $R^c$  is  $C_{1-4}$ alkyl.

15. A process as claimed in claim 14, wherein the base is t-butyl lithium;

$R^1$  is selected from  $C_{1-6}$ alkyl;  $C_{2-6}$ alkenyl;  $C_{2-6}$ alkynyl; optionally substituted  $C_{3-6}$ cycloalkylmethyl; optionally substituted  $C_{3-6}$ heterocycloalkylmethyl;

15  $R^2$  is selected from -CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>3</sub>, -CH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>CF<sub>3</sub>, CF<sub>3</sub>, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyridyl and phenyl; and

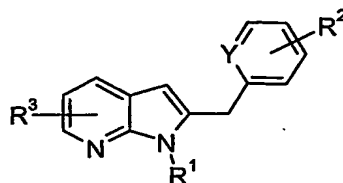
$R^3$  is selected from:



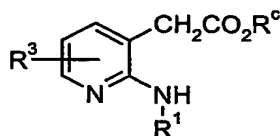
20 wherein,  $R^7$  is selected from -H and methyl;  $R^{10}$  and  $R^{11}$  are independently selected from optionally substituted  $C_{1-6}$ alkyl, optionally substituted  $C_{2-6}$ alkenyl, optionally substituted  $C_{2-6}$ alkynyl, optionally substituted  $C_{3-6}$ cycloalkyl, optionally substituted  $C_{6-10}$  aryl, or optionally substituted  $C_{3-6}$ heteroaryl.

16. A process for preparing a compound of formula V,

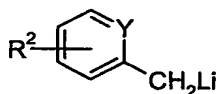
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V

comprising the step of reacting a compound of formula VI,

VI

with a compound of formula VII,

VII

5

to form the compound of formula V,

wherein

R<sup>1</sup> is optionally substituted C<sub>1-10</sub> hydrocarbyl; optionally substituted C<sub>1-10</sub>acyl; optionally substituted C<sub>4-8</sub>heteroaryl-C(=O)-; R<sup>4</sup>R<sup>5</sup>N-C<sub>1-6</sub>alkyl; R<sup>4</sup>R<sup>5</sup>NC(=O)-C<sub>1-6</sub>alkyl; R<sup>4</sup>O-C<sub>1-6</sub>alkyl; R<sup>4</sup>OC(=O)-C<sub>1-6</sub>alkyl; R<sup>4</sup>C(=O)-C<sub>1-6</sub>alkyl; R<sup>4</sup>C(=O)NR<sup>4</sup>-C<sub>1-6</sub>alkyl; R<sup>4</sup>R<sup>5</sup>NSO<sub>2</sub>-C<sub>1-6</sub>alkyl; R<sup>4</sup>CSO<sub>2</sub>N(R<sup>5</sup>)-C<sub>1-6</sub>alkyl; R<sup>4</sup>R<sup>5</sup>NC(=O)N(R<sup>6</sup>)-C<sub>1-6</sub>alkyl; R<sup>4</sup>R<sup>5</sup>NSO<sub>2</sub>N(R<sup>6</sup>)-C<sub>1-6</sub>alkyl; optionally substituted aryl-C<sub>1-6</sub>alkyl; optionally substituted aryl-C(=O)-C<sub>1-6</sub>alkyl; optionally substituted heterocyclyl-C<sub>1-6</sub>alkyl; optionally substituted heterocyclyl-C(=O)-C<sub>1-6</sub>alkyl; and C<sub>1-10</sub>hydrocarbylamino;

15

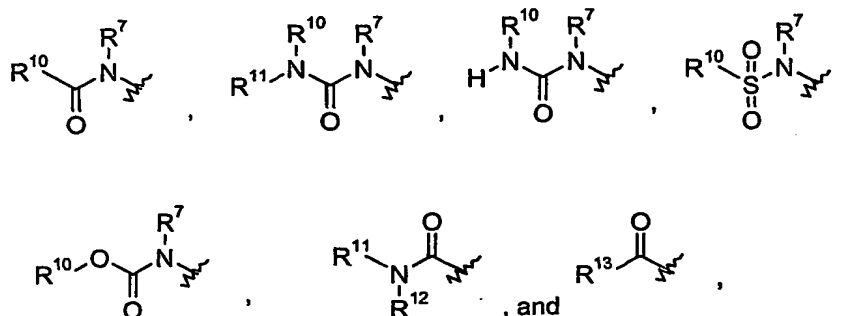
wherein R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> are independently selected from -H, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, or a divalent C<sub>1-6</sub>group that together with another divalent C<sub>1-6</sub>group forms a portion of a ring;

R<sup>2</sup> is optionally substituted C<sub>1-6</sub>hydrocarbyl, optionally substituted C<sub>6-10</sub>aryl, or optionally substituted C<sub>3-6</sub>heteroaryl;

20

R<sup>3</sup> is selected from:

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wherein

$R^7$  is selected from -H, optionally substituted  $C_{1-6}$ alkyl, optionally substituted  $C_{2-6}$ alkenyl, optionally substituted  $C_{2-6}$ alkynyl, optionally substituted  $C_{3-6}$ cycloalkyl, optionally substituted  $C_{6-10}$  aryl, or optionally substituted  $C_{3-6}$ heteroaryl;

$R^{10}$ ,  $R^{11}$ ,  $R^{12}$  and  $R^{13}$  are independently selected from optionally substituted  $C_{1-6}$ alkyl, optionally substituted  $C_{2-6}$ alkenyl, optionally substituted  $C_{2-6}$ alkynyl, optionally substituted  $C_{3-6}$ cycloalkyl, optionally substituted  $C_{6-10}$  aryl, or optionally substituted  $C_{3-6}$ heteroaryl;

Y is CH or N; and

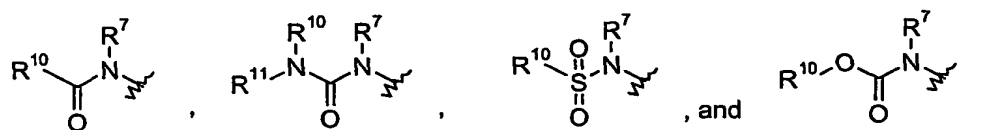
$R^c$  is  $C_{1-4}$ alkyl.

17. A process as claimed in claim 16, wherein

$R^1$  is selected from  $C_{1-6}$ alkyl;  $C_{2-6}$ alkenyl;  $C_{2-6}$ alkynyl; optionally substituted  $C_{3-6}$ cycloalkylmethyl; optionally substituted  $C_{3-6}$ heterocycloalkylmethyl;

$R^2$  is selected from -CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>3</sub>, -CH(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>CF<sub>3</sub>, CF<sub>3</sub>, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyridyl and phenyl; and

$R^3$  is selected from:



wherein,  $R^7$  is selected from -H and methyl;  $R^{10}$  and  $R^{11}$  are independently selected from optionally substituted  $C_{1-6}$ alkyl, optionally substituted  $C_{2-6}$ alkenyl, optionally substituted  $C_{2-6}$ alkynyl, optionally substituted  $C_{3-6}$ cycloalkyl, optionally substituted  $C_{6-10}$  aryl, or optionally substituted  $C_{3-6}$ heteroaryl.